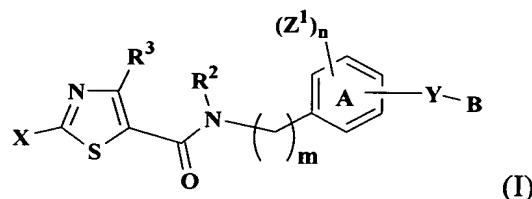


CLAIMS

We claim:

1. A compound having the formula (I),

5



enantiomers, diastereomers, pharmaceutically-acceptable salts, and solvates thereof, wherein,

ring A is phenyl or pyridyl;

10 Y is $-C(=O)NR^1-$ or $-NR^1C(=O)-$ and is attached to the phenyl or pyridyl ring in the meta or para position;

R^1 is

- (a) hydrogen, or
- (b) alkyl, cycloalkyl, aryl(alkyl), (heteroaryl)alkyl, (heterocyclo)alkyl or
15 (cycloalkyl)alkyl, any of which may be optionally substituted as
valence allows with Z^{1a} , Z^{2a} and up to two Z^{3a} ;

B is

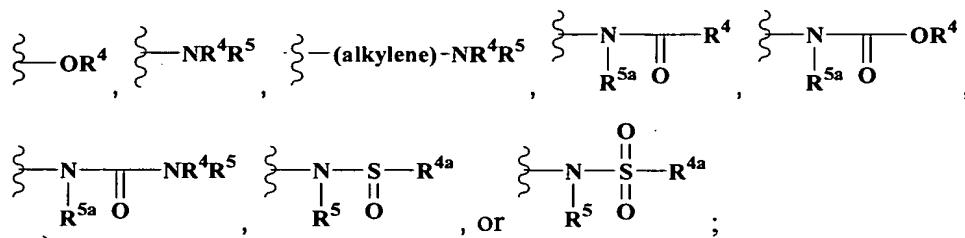
- (a) hydrogen or hydroxy, or
- (b) alkyl, cycloalkyl, (cycloalkyl)alkyl, alkenyl, alkoxy, (alkoxy)alkyl, aryl,
20 (aryl)alkyl, heteroaryl, (heteroaryl)alkyl, heterocyclo or
(heterocyclo)alkyl, any of which may be optionally substituted as
valence allows with Z^{1b} , Z^{2b} and up to two Z^{3b} ;

R^2 is

- (a) hydrogen, or
- (b) alkyl, cycloalkyl, aryl(alkyl), (heteroaryl)alkyl, (heterocyclo)alkyl, or
25 (cycloalkyl)alkyl, any of which may be optionally substituted as
valence allows with Z^{1c} , Z^{2c} and up to two Z^{3c} ;

R^3 is hydrogen, alkyl, haloalkyl, alkoxy, (alkoxy)alkyl, hydroxy, (hydroxy)alkyl, halogen, cyano, or $-NR^6R^7$;

X is

 R^4 , R^5 and R^{5a} are independently

5 (a) hydrogen, or
 (b) alkyl, cycloalkyl, (cycloalkyl)alkyl, (alkoxy)alkyl, alkenyl, aryl,
 (aryl)alkyl, heteroaryl, (heteroaryl)alkyl, heterocyclo or (heterocyclo)alkyl,
 any of which may be optionally substituted as valence allows with Z^{1d} , Z^{2d}
 and up to two Z^{3d} ; or

10 (c) R^4 and R^5 together with the nitrogen atom to which they are bonded
 may optionally combine to form a heterocyclo ring which may be optionally
 substituted as valence allows with Z^{1d} , Z^{2d} and up to two Z^{3d} ;

15 R^{4a} is alkyl, cycloalkyl, (cycloalkyl)alkyl, alkoxy, (alkoxy)alkyl, alkenyl, aryl,
 (aryl)alkyl, heteroaryl, (heteroaryl)alkyl, heterocyclo, or (heterocyclo)alkyl,
 any of which may be optionally substituted as valence allows with Z^{1d} , Z^{2d} and
 up to two Z^{3d} ;

20 R^6 and R^7 are independently
 (a) hydrogen or
 (b) alkyl, cycloalkyl, (cycloalkyl)alkyl, aryl, (aryl)alkyl, heteroaryl,
 (heteroaryl)alkyl, heterocyclo or (heterocyclo)alkyl, any of which may
 be optionally substituted as valence allows with Z^{1e} , Z^{2e} and up to two
 Z^{3e} ;

25 Z^{1-1e} , Z^{2a-2e} , and Z^{3a-3e} are optional substituents independently selected from
 (1) R^{10} , where R^{10} is
 (i) alkyl, (hydroxy)alkyl, (alkoxy)alkyl, alkenyl, alkynyl,
 cycloalkyl, (cycloalkyl)alkyl, aryl, (aryl)alkyl, heterocyclo,
 (heterocyclo)alkyl, heteroaryl, or (heteroaryl)alkyl;
 (ii) a group (i) which is itself substituted by one to four of the same
 or different groups (i); or

(iii) a group (i) or (ii) which is independently substituted by one to four of the following groups (2) to (12);

- (2) $-\text{OR}^{11}$,
- (3) $-\text{SR}^{11}$,
- 5 (4) $-\text{C}(\text{O})_t\text{R}^{11}$ or $-\text{O}-\text{C}(\text{O})\text{R}^{11}$;
- (5) $-\text{SO}_3\text{H}$, $-\text{S}(\text{O})_t\text{R}^{16}$, or $\text{S}(\text{O})_t\text{N}(\text{R}^{11})\text{R}^{12}$,
- (6) halo,
- (7) cyano,
- (8) nitro,
- 10 (9) $-\text{U}^1\text{-NR}^{12}\text{R}^{13}$,
- (10) $-\text{U}^1\text{-N}(\text{R}^{11})\text{-U}^2\text{-NR}^{12}\text{R}^{13}$,
- (11) $-\text{U}^1\text{-N}(\text{R}^{14})\text{-U}^2\text{-R}^{11}$,
- (12) oxo;

U^1 and U^2 are each independently

- 15 (1) a single bond,
- (2) $-\text{U}^3\text{-S}(\text{O})_t\text{U}^4\text{-}$,
- (3) $-\text{U}^3\text{-C}(\text{O})\text{-U}^4\text{-}$,
- (4) $-\text{U}^3\text{-C(S)}\text{-U}^4\text{-}$,
- (5) $-\text{U}^3\text{-O-U}^4\text{-}$,
- 20 (6) $-\text{U}^3\text{-S-U}^4\text{-}$,
- (7) $-\text{U}^3\text{-O-C(O)-U}^4\text{-}$,
- (8) $-\text{U}^3\text{-C(O)-O-U}^4\text{-}$, or
- (9) $-\text{U}^3\text{-C(=NR}^{15}\text{)-U}^4\text{-};$

U^3 and U^4 are each independently

- 25 (1) a single bond,
- (2) alkylene,
- (3) alkenylene, or
- (4) alkynylene;

R^{11} , R^{12} , R^{13} , R^{14} , R^{15} and R^{16}

- 30 (4) are each independently hydrogen, alkyl, (hydroxy)alkyl, (alkoxy)alkyl, alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, aryl, (aryl)alkyl, heterocyclo, (heterocyclo)alkyl, heteroaryl, or (heteroaryl)alkyl, any of

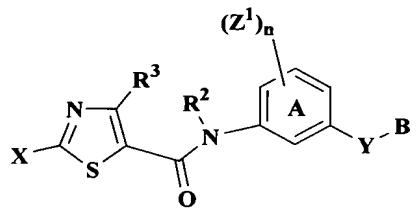
which is unsubstituted or substituted with one to four groups listed below for R²⁰; except R¹⁶ is not hydrogen; or

5 (5) R¹² and R¹³ may be taken together to form a 3- to 8-membered saturated or unsaturated ring together with the atoms to which they are attached, which ring is unsubstituted or substituted with one to four groups listed below for R²⁰, or

10 (6) R¹² and R¹³ together with the nitrogen atom to which they are attached may combine to form a group -N=C R¹⁷R¹⁸ where R¹⁷ and R¹⁸ are each independently hydrogen, alkyl, or alkyl substituted with a group R²⁰;

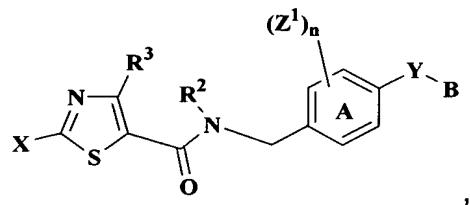
15 R²⁰ is alkyl, halogen, cyano, hydroxy, -O(alkyl), SH, -S(alkyl), amino, alkylamino, haloalkyl, or a lower alkyl substituted with cyano, hydroxy, or alkoxy;
 m is 0 or 1;
 n is 0, 1, 2, or 3; and
 t is 1 or 2.

2. A compound according to claim 1 having the formula,



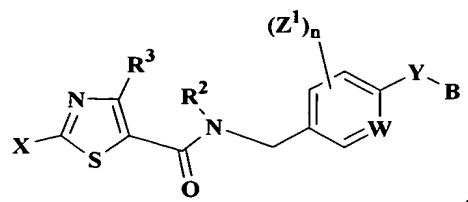
wherein A is phenyl.

20 3. A compound according to claim 1 having the formula,



wherein A is phenyl.

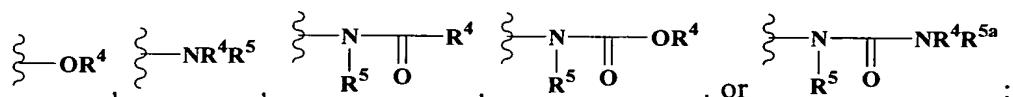
4. A compound according to claim 1 having the formula,



wherein W is N, CH, or C(Z²), and Z² is selected from Z¹.

5 5. A compound according to claim 1 wherein

X is



R¹ is hydrogen or alkyl;

B is

10 (a) hydrogen, or

(b) alkyl, cycloalkyl, aryl, heteroaryl or heterocyclo any of which may be optionally substituted as valence allows with Z^{1b}, Z^{2b} and up to two Z^{3b};

R² is hydrogen or alkyl;

R³ is hydrogen, alkyl, haloalkyl, alkoxy, haloalkoxy, halogen, or cyano;

15 R⁴ is alkyl, (alkoxy)alkyl, alkenyl, cylcoalkyl, (cylcoalkyl)alkyl, aryl, (aryl)alkyl, heteroaryl, (heteroaryl)alkyl, heterocyclo, and (heterocyclo)alkyl, any of which may be optionally substituted as valence allows with Z^{1d}, Z^{2d} and up to two Z^{3d};

R^{4a} is alkyl, alkoxy, (alkoxy)alkyl, alkenyl, cylcoalkyl, (cylcoalkyl)alkyl, aryl,

20 (aryl)alkyl, heteroaryl, (heteroaryl)alkyl, heterocyclo, and (heterocyclo)alkyl, any of which may be optionally substituted as valence allows with Z^{1d}, Z^{2d} and up to two Z^{3d};

R⁵ is hydrogen or alkyl; and

Z¹, Z^{1b}, Z^{1d}, Z^{2b}, Z^{2d}, Z^{3b} and Z^{3d} are optional substituents independently selected from halogen, cyano, alkyl, haloalkyl, alkenyl, aryl, (aryl)alkyl, -OR¹¹, -SR¹¹, -S(O)_tR¹⁶, -C(O)R¹¹, -NR¹²R¹³, -NR¹⁴C(O)OR¹¹, -NR¹⁴C(O)R¹¹, -C(O)NR¹²R¹³, -NR¹⁴S(O)₂R¹¹, -SO₂NR¹¹R¹², and -C(O)-(alkylene)NR¹²R¹³.

6. A compound according to claim 5 wherein

R¹ is hydrogen;

B is

(a) hydrogen, or

5 (b) alkyl, cycloalkyl, or heteroaryl, any of which may be optionally substituted as valence allows with Z^{1b}, Z^{2b} and up to two Z^{3b};

R² is hydrogen;

R³ is hydrogen;

X is



R⁵ is hydrogen;

Z¹, Z^{1b}, Z^{1d}, Z^{2b}, Z^{2d}, Z^{3b} and Z^{3d} are optional substituents independently selected from halogen, cyano, alkyl, hydroxy, alkoxy and haloalkoxy; and

n is 0 or 1.

15

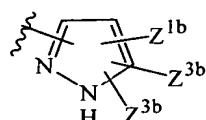
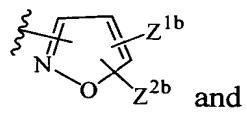
7. A compound according to claim 1 where

B is

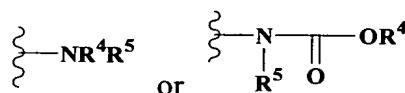
(a) hydrogen,

(b) methyl, ethyl or cyclopropyl; or

20 (b) heteroaryl selected from



8. A compound according to claim 1 where X is



25 9. A compound according to claim 1 where X is -OR⁴.

10. A compound according to claim 1 where R⁴ is alkyl, cycloalkyl, aryl, (aryl)alkyl, heterocyclo, (heterocyclo)alkyl, heteroaryl, or (heteroaryl)alkyl, any of which may be optionally independently substituted as valence allows with one to three groups selected from alkyl, alkoxy, halogen, cyano, haloalkyl, and haloalkoxy.

5

11. A compound according to claim 1 where R¹, R² and R³ are each hydrogen.

12. A compound according to claim 1 where R⁴ is alkyl, (alkoxy)alkyl or cycloalkyl.

10

13. A compound according to claim 1 where R⁵ is hydrogen.

14. A compound according to claim 1 where Z¹ is halogen or alkyl.

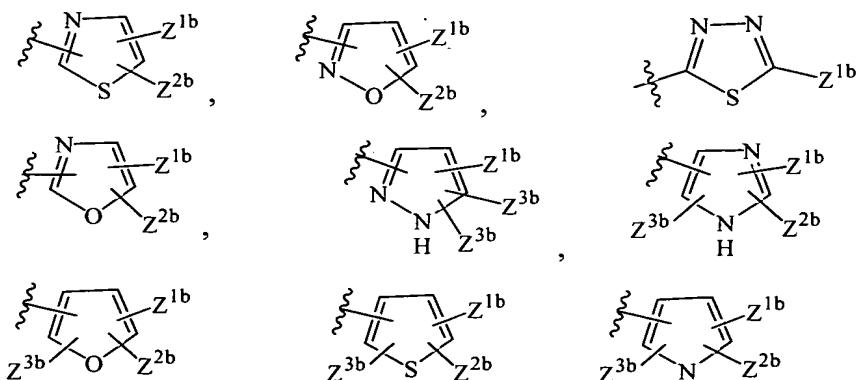
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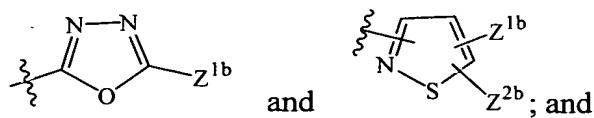
15. A compound according to claim 1 where B is

(a) phenyl optionally independently substituted as valence allows with Z^{1b}, Z^{2b} and/or Z^{3b};

(b) cycloalkyl optionally independently substituted as valence allows with keto, Z^{1b}, Z^{2b} and/or Z^{3b}; or

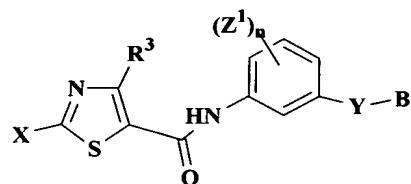
20 (c) heteroaryl selected from





Z^{1b} , Z^{2b} and Z^{3b} are independently selected from alkyl, haloalkyl, hydroxy, alkoxy, haloalkoxy, halogen, cyano, $-NR^{12}R^{13}$, phenyl, benzyl, phenoxy, or benzyloxy.

5 16. A compound having the formula,



Y is $-C(=O)NR^1-$ or $-NR^1C(=O)-$;

R^1 is hydrogen or lower alkyl;

10 B is

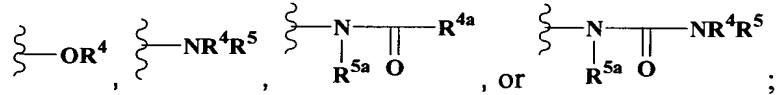
(a) hydrogen, or

(b) alkyl, cycloalkyl, or heteroaryl, any of which may be optionally substituted with Z^{1b} , Z^{2b} and up to two Z^{3b} ;

R^3 is hydrogen, alkyl, perfluoromethyl, methoxy, ethoxy, hydroxy, halogen, cyano,

15 amino, or alkylamino;

X is



R^4 is

20 (a) hydrogen, or

(b) alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, or heterocyclo, any of which may be optionally substituted with Z^{1d} , Z^{2d} and up to two Z^{3d} ;

R^{4a} is

(a) hydrogen, or

(b) alkyl, alkoxy, cycloalkyl, alkenyl, aryl, heteroaryl, or heterocyclo any of which may be optionally substituted with Z^{1d} , Z^{2d} and up to two Z^{3d} ;

R^5 and R^{5a} are hydrogen, alkyl or substituted alkyl; or alternatively, R^4 and R^5 together may form heterocyclo;

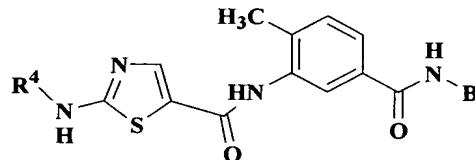
5 Z^1 , Z^{1b} , Z^{2b} , Z^{3b} , Z^{1d} , Z^{2d} and Z^{3d} are selected from halogen, alkyl, substituted alkyl, haloalkyl, haloalkoxy, cyano, amino, alkylamino, hydroxy, alkoxy, SH, alkylthio; cycloalkyl, heterocyclo, aryl, and heteroaryl; and

n is 0, 1 or 2.

10 17. A compound according to claim 16 wherein
 R^4 is selected from C_{1-6} alkyl and C_{3-7} cycloalkyl, either of which is optionally substituted with hydroxy or $-O(C_{1-4}$ alkyl);
 B is hydrogen, lower alkyl, or cyclopropyl;
 Z^1 is methyl or halogen; and

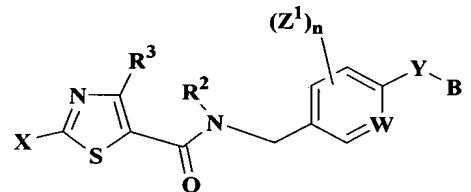
15 n is 1.

18. A compound according to claim 17 having the formula,



20

19. A compound having the formula



wherein W is N , CH , or $C(Z^2)$;
 Y is $-C(=O)NR^1-$ or $-NR^1C(=O)-$;

25 R^1 is hydrogen or lower alkyl;
 R^2 is hydrogen or lower alkyl;
 B is

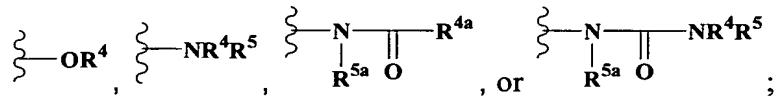
(a) hydrogen, or

(b) alkyl, cycloalkyl, or heteroaryl, any of which may be optionally substituted with Z^{1b} , Z^{2b} and Z^{3b} ;

R^3 is hydrogen, alkyl, perfluoromethyl, methoxy, ethoxy, hydroxy, halogen, cyano,

5 amino, or alkylamino;

X is



R^4 is

10 (a) hydrogen, or

(b) alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, or heterocyclo any of which may be optionally substituted with Z^{1d} , Z^{2d} and up to two Z^{3d} ;

R^{4a} is

(a) hydrogen, or

15 (b) alkyl, alkoxy, cycloalkyl, alkenyl, aryl, heteroaryl, or heterocyclo any of which may be optionally substituted with Z^{1d} , Z^{2d} and up to two Z^{3d} ;

R^5 and R^{5a} are hydrogen, alkyl or substituted alkyl; or alternatively, R^4 and R^5 together may form heterocyclo;

Z^1 , Z^{1b} , Z^2 , Z^{2b} , Z^{3b} , Z^{1d} , Z^{2d} and Z^{3d} are selected from halogen, alkyl, substituted alkyl,

20 haloalkyl, haloalkoxy, cyano, amino, alkylamino, hydroxy, alkoxy, SH, alkylthio; cycloalkyl, heterocyclo, aryl, and heteroaryl; and

n is 0, 1 or 2.

25 20. A compound according to claim 19 wherein

R^4 is selected from C_{1-6} alkyl and C_{3-7} cycloalkyl, either of which is optionally substituted with hydroxy or $-O(C_{1-4}$ alkyl);

B is hydrogen, lower alkyl, or cyclopropyl;

Z^1 is methyl or halogen; and

30 n is 1.